

Amendments to the Specification:

On page 667, please replace the paragraph beginning "Preparation of 2-chloro-3-[(ethylamino)sulfonyl]benzoic acid" with the following:

Preparation of 2-chloro-3-[(ethylamino)sulfonyl]benzoic acid. To a solution of methyl 2-chloro-3-(chlorosulfonyl)benzoate (608 mg, 2.26 mmol) and K₂CO₃ (770 mg, 5.6 mmol) in 10 mL benzene was added ethylamine (5.6 mL, 11.2 mmol). Purification of the product provided methyl 2-chloro-3-[(ethylamino)sulfonyl]benzoate (335 mg, 53%) as a solid. ¹H NMR (400 MHz, CDCl₃), [□] δ 8.24 (dd, 1H, J = 8.0, 1.7 Hz), 7.90 (dd, 1H, J = 7.8, 1.7 Hz), 7.47 (t, 1H, J = 7.8 Hz), 5.14 (t, 1H, J = 5.9 Hz), 3.94 (s, 3H), 2.98 (qd, 2H, J = 7.3, 6.0 Hz), 1.09 (t, 3H, J = 7.2 Hz); ESI-MS 278 (M+H), 300 (M+Na). Methyl 2-chloro-3-[(ethylamino)sulfonyl]benzoate was hydrolyzed using aqueous NaOH to provide 2-chloro-3-[(ethylamino)sulfonyl]benzoic acid as a solid, which was used without further purification. ESI-MS 264 (M+H), 286 (M+Na).

On page 667, please replace the paragraph beginning "2-chloro-*N*-ethyl-3" with the following:

2-chloro-*N*-ethyl-3-[(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)carbonyl]benzenesulfonamide (282 mg, 83%) was obtained as a solid from 2-chloro-3-[(ethylamino)sulfonyl]benzoic acid (51 mg, 0.19 mmol), 1-((1*R*,5*S*)-8-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole dihydrochloride (117 mg, 0.19 mmol) and HATU (80 mg, 0.21 mmol) following the procedure outlined in example 5. ¹H NMR (400 MHz, CDCl₃), [□] δ 8.12 (m, 1 H), 7.64 (m, 1 H), 7.53-7.40 (m, 2 H), 7.38-7.25 (m, 2 H), 7.14 (m, 2 H), 7.05 (m, 1 H), 7.00-6.92 (m, 2 H), 5.80-5.35 (m, 2 H), 4.52 (m, 1 H), 4.20 (m, 1 H), 3.45-2.87 (m, 7 H), 2.52 (m, 3 H, rotamers), 2.40-1.60 (m, 15 H), 1.1 (m, 3H); ESI-MS 692 (M+H).

On page 668, please replace the paragraph beginning with "Preparation of 2-chloro-3-[(cyclopropylamino)sulfonyl]benzoic acid" with the following:

Preparation of 2-chloro-3-[(cyclopropylamino)sulfonyl]benzoic acid. To a solution of methyl 2-chloro-3-(chlorosulfonyl)benzoate (608 mg, 2.26 mmol) and K₂CO₃ (770 mg, 5.6 mmol) in 10 mL benzene was added cyclopropylamine (0.78 mL, 11.2 mmol). Purification of the product provided methyl 2-chloro-3-[(cyclopropylamino)sulfonyl]benzoate (355 mg, 54%) as a solid. ¹H NMR (400 MHz, CDCl₃), [□] δ 8.28 (dd, 1H, J = 7.9, 1.7 Hz), 7.90 (dd, 1H, J = 7.8, 1.7 Hz), 7.48 (t, 1H, J = 7.8 Hz), 5.63 (s, 1H), 3.93 (s, 3H), 2.17 (m, 1H), 0.65-0.58 (m, 2H), 0.57-0.50 (m, 2H); ESI-MS 290 (M+H), 312 (M+Na). Methyl 2-chloro-3-[(cyclopropylamino)sulfonyl]benzoate was hydrolyzed using aqueous NaOH to provide 2-chloro-3-[(cyclopropylamino)sulfonyl]benzoic acid as a solid, which was used without further purification. ESI-MS 276 (M+H), 298 (M+Na).

On page 668, please replace the paragraph beginning with "2-chloro-*N*-cyclopropyl" with the following:

2-chloro-*N*-cyclopropyl-3-[(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)carbonyl]benzenesulfonamide (288 mg, 91%) was obtained as a solid from 2-chloro-3-[(cyclopropylamino)sulfonyl]benzoic acid (41 mg, 0.15 mmol), 1-((1*R*,5*S*)-8-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole dihydrochloride (90 mg, 0.15 mmol) and HATU (62 mg, 0.16 mmol) following the procedure outlined in example 5. ¹H NMR (400 MHz, CDCl₃), [□] δ 8.18 (m, 1H), 7.65 (m, 1H), 7.56-7.25 (m, 4H), 7.15 (m, 2H), 7.05 (m, 1H), 7.01-6.91 (m, 2H), 5.95-5.44 (m, 2H), 4.61 (m, 1H), 4.23 (m, 1H), 3.45-

3.05 (m, 5H), 2.56 (s, 1.5H, rotamer), 2.54 (s, 1.5H, rotamer), 2.43-1.74 (m, 15H), 1.70-1.58 (m, 2H), 0.78 (m, 1H), 0.63-0.50 (m, 2H); ESI-MS 704 (M+H).

On page 669, please replace the paragraph beginning "1,1,1-trifluoro" with the following:

1,1,1-trifluoro-*N*-[3-(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)-2,2-dimethyl-3-oxopropyl]methanesulfonamide (41 mg, 44%) was obtained as a solid from 2,2-dimethyl-3-[[[(trifluoromethyl)sulfonyl]amino]propanoic acid (100 mg, 0.40 mmol), 1-((1*R*,5*S*)-8-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole dihydrochloride (83 mg, 0.14 mmol) and HATU (75 mg, 0.20 mmol) following the procedure outlined in example 5. ¹H NMR (400 MHz, CDCl₃), [□□] δ 7.71-7.67 (m, 1H), 7.41-7.21 (m, 4H), 7.07 (m, 1H), 7.02-6.94 (m, 2H), 4.84 (q, 1H, J = 9.5 Hz), 3.94 (m, 2H), 3.45 (m, 2H), 3.21 (m, 5H) 262 (s, 3H), 2.54 (m, 2H), 2.20 (m, 2H), 2.14-1.95 (m, 6H), 1.87 (m, 2H), 1.81-1.71 (m, 4H), 1.33 (s, 6H); ESI-MS 678 (M+H).

On page 670, please replace the paragraph beginning "Preparation of 2-chloro-3-[(methylsulfonyl)amino]benzoic acid" with the following:

Preparation of 2-chloro-3-[(methylsulfonyl)amino]benzoic acid. To a solution of methyl 3-amino-2-chlorobenzoate (517 mg, 2.79 mmol) and pyridine (0.25 mL, 3.06 mmol) in 8mL CH₂Cl₂ was added methanesulfonylchloride (0.24 mL, 3.06 mmol). After washing with 1M HCl, methyl 2-chloro-3-[(methylsulfonyl)amino]benzoate was isolated as a solid in quantitative yield. ¹H NMR (400 MHz, CDCl₃), [□□] δ 7.77 (dd, 1H, J = 8.2, 1.6 Hz), 7.61 (dd, 1H, J = 7.9, 1.6 Hz), 7.33 (t, 1H, J = 7.9 Hz), 7.16 (s, 1H), 3.91 (s, 3H), 2.99 (s, 3H); ESI-MS 262 (M-H). Methyl 2-chloro-3-[(methylsulfonyl)amino]benzoate was hydrolyzed using aqueous NaOH to provide 2-chloro-3-[(methylsulfonyl)amino]benzoic acid as a solid, which was used without further purification. ESI-MS 248 (M-H).

On pages 670-671 please replace the paragraph beginning “*N*-{2-chloro-3-[(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl)piperidin-1-yl)carbonyl]phenyl}methanesulfonamide (18 mg, 20%) was obtained as a solid from 2-chloro-3-[(methylsulfonyl)amino]benzoic acid (49 mg, 0.20 mmol), 1-((1*R*,5*S*)-8-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole dihydrochloride (83 mg, 0.14 mmol) and HATU (75 mg, 0.20 mmol) following the procedure outlined in example 5. ¹H NMR (400 MHz, CDCl₃), [□] δ 7.72-7.63 (m, 2H), 7.41-7.25 (m, 4H), 7.16 (m, 2H), 7.07 (m, 1H), 7.02-6.93 (m, 2H), 4.62 (m, 1H), 4.22 (m, 1H), 3.48-3.09 (m, 5H), 3.07 (2, 1.5H, rotamer), 3.04 (s, 1.5H, rotamer), 2.58-2.53 (m, 3H, rotamers), 2.45-2.24 (m, 3H), 2.18-1.61 (m, 15H); ESI-MS 678 (M+H).

On page 672, please replace the paragraph beginning “*N*-{4-chloro-2-fluoro-5-[(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl)piperidin-1-yl)carbonyl]phenyl}-1,1,1-trifluoromethanesulfonamide (27 mg, 26%) was obtained as a solid from 2-chloro-4-fluoro-5-[[[(trifluoromethyl)sulfonyl]amino]benzoic acid (90 mg, 0.28 mmol), 1-((1*R*,5*S*)-8-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole dihydrochloride (83 mg, 0.14 mmol) and HATU (75 mg, 0.20 mmol) following the procedure outlined in example 5. ¹H NMR (400 MHz, CDCl₃), [□] δ 7.68 (m, 1H), 7.58-7.46 (m, 1H), 7.38 (m, 1H), 7.31-7.19 (m, 3H), 7.14 (m, 1H), 7.08-6.93 (m, 3H), 5.06 (m, 1H), 4.12 (m, 1H), 3.89-3.63 (m, 2H), 3.48-3.08 (m, 4H), 2.77-2.33 (m, 7H), 2.30-1.76 (m, 12H); ESI-MS 750 (M+H).

On pages 672-673, please replace the paragraph beginning "Preparation of 2-ethyl-2-[(methylsulfonyl)amino]butanoic acid" with the following:

Preparation of 2-ethyl-2-[(methylsulfonyl)amino]butanoic acid. To a solution of 0 °C solution of diethylglycine (205 mg, 1.56 mmol) in 2mL 1M NaOH was added methanesulfonyl chloride (0.14 mL, 1.81 mmol) with periodic stirring and addition of another 2mL 1M NaOH. The reaction mixture was stirred for 1h at 0 °C, 4h at room temperature, and then acidified with 1M HCl and extracted into EtOAc to provide the crude 2-ethyl-2-[(methylsulfonyl)amino]butanoic acid (37 mg, 11%) as a solid, which was used without further purification. ¹H NMR (400 MHz, CDCl₃), [□] δ 5.19 (s, 1H), 3.06 (s, 3H), 2.14 (m, 2H), 1.92 (m, 2H), 0.96 (t, 6H, J = 7.4 Hz).

On page 673 please replace the paragraph beginning "N-{1-ethyl-1-[(4-(3-fluorophenyl)" with the following:

N-{1-ethyl-1-[(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)carbonyl]propyl}methanesulfonamide (69 mg, 79%) was obtained as a solid from 2-ethyl-2-[(methylsulfonyl)amino]butanoic acid (37 mg, 0.18 mmol), 1-((1*R*,5*S*)-8-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole dihydrochloride (83 mg, 0.14 mmol) and HATU (75 mg, 0.20 mmol) following the procedure outlined in example 5. ¹H NMR (400 MHz, CDCl₃), [□] δ 7.65-7.62 (m, 1H), 7.39-7.30 (m, 1H), 7.28 (m, 1H), 7.19-7.11 (m, 2H), 7.06 (m, 1H), 7.01-6.91 (m, 2H), 6.35 (s, 0.3H, rotamer), 6.28 (s, 0.7H, rotamer), 4.75 (br. s, 1H), 4.07-3.96 (m, 2H), 3.39-3.25 (m, 4H), 2.98 (s, 2H, rotamer), 2.97 (s, 1H, rotamer), 2.57 (s, 3H), 2.48-2.38 (m, 2H), 2.31 (m, 2H), 2.24-2.15 (m, 2H), 2.01-1.91 (m, 4H), 1.90-1.74 (m, 8H), 1.74-1.63 (m, 2H), 0.96-0.85 (m, 6H); ESI-MS 638 (M+H).

On page 674 please replace the paragraph beginning "N-{4-chloro-2-fluoro-5-[(4-(3-fluorophenyl)" with the following:

N-{4-chloro-2-fluoro-5-[(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)carbonyl]phenyl}methanesulfonamide (15.9 mg, 7%) was obtained as a solid from 2-chloro-4-fluoro-5-[(methylsulfonyl)amino]benzoic acid (91 mg, 0.34 mmol), 1-((1*R*,5*S*)-8-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole dihydrochloride (210 mg, 0.34 mmol) and HATU (194 mg, 0.51 mmol) following the procedure outlined in example 5. ¹H NMR (400 MHz, CDCl₃), [□] δ 7.66 (m, 1H), 7.55 (m, 0.5H, rotamer), 7.42-7.32 (m, 1.5H, rotamer), 7.31-7.27 (m, 1H), 7.25-7.11 (m, 3H), 7.07 (m, 1H), 7.02-6.93 (m, 2H), 4.65 (br. s, 1H), 4.29-4.11 (m, 1H), 3.47-3.11 (m, 5H), 3.08 (s, 1.5H, rotamer), 3.05 (s, 1.5H, rotamer), 2.56 (s, 3H), 2.46-2.35 (m, 2H), 2.33-2.24 (m, 1H), 2.18-2.10 (m, 1H), 2.00-1.73 (m, 10H), 1.67 (m, 2H); ESI-MS 696 (M+H).

On page 675, please replace the paragraph beginning “*N*-[3-(4-(3-fluorophenyl)]” with the following:

N-[3-(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)-2,2-dimethyl-3-oxopropyl]propane-2-sulfonamide (130mg, 74%) was obtained as a solid from 3-[(isopropylsulfonyl)amino]-2,2-dimethylpropanoic acid (60 mg, 0.27 mmol), 1-((1*R*,5*S*)-8-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole dihydrochloride (164 mg, 0.27 mmol) and HATU (154 mg, 0.41 mmol) following the procedure outlined in example 5. ¹H NMR (400 MHz, CDCl₃), [□] δ 7.68-7.64 (m, 1H), 7.36 (m, 1H), 7.29 (m, 1H), 7.16 (m, 2H), 7.08 (m, 1H), 7.00 (m, 1H), 6.96 (m, 1H), 5.36 (t, 1H, J = 6.6 Hz), 4.65 (br. s, 1H), 3.93 (m, 2H), 3.33-3.20 (m, 4H), 3.15 (m, 1H), 3.10 (m, 2H), 2.58 (s, 3H), 2.40 (m, 2H), 2.19 (m, 2H), 2.00-1.73 (m, 10H), 1.67 (m, 2H), 1.39 (s, 3H), 1.37 (s, 3H), 1.33 (s, 6H); ESI-MS 652 (M+H).

On pages 676-677, please replace the paragraph beginning “*N*-{2,4-difluoro-5-[(4-(3-fluorophenyl)]” with the following:

N-{2,4-difluoro-5-[(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)carbonyl]phenyl}methanesulfonamide (7.0 mg, 13%) was obtained as a solid from 2,4-difluoro-5-[(methylsulfonyl)amino]benzoic acid (20 mg, 0.08 mmol), 1-((1*R*,5*S*)-8-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole dihydrochloride (48 mg, 0.08 mmol) and HATU (45 mg, 0.12 mmol) following the procedure outlined in example 5. ¹H NMR (400 MHz, CDCl₃), [□] δ 7.73-7.68 (m, 1H), 7.60 (s, 1H), 7.41 (m, 1H), 7.25-7.15 (m, 3H), 7.12-7.07 (m, 1H), 7.04-6.93 (m, 3H), 4.26-4.11 (m, 1H), 3.89-3.63 (m, 2H), 3.58-3.43 (m, 2H), 3.29-3.16 (m, 2H), 3.07 (s, 2H, rotamer), 3.02 (s, 1H, rotamer), 2.70 (s, 3H), 2.41-1.61 (m, 16H); ESI-MS 681 (M+H).

On pages 677-678, please replace the paragraph beginning “*N*-{2,4-difluoro-3-[(4-(3-fluorophenyl)]” with the following:

N-{2,4-difluoro-3-[(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)carbonyl]phenyl}methanesulfonamide (30.5 mg, 49%) was obtained as a solid from 2,6-difluoro-3-[(methylsulfonyl)amino]benzoic acid (26 mg, 0.10 mmol), 1-((1*R*,5*S*)-8-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole dihydrochloride (56 mg, 0.09 mmol) and HATU (53 mg, 0.14 mmol) following the procedure outlined in example 5.

¹H NMR (400 MHz, CDCl₃), [□] δ 7.79 (m, 1H), 7.55 (m, 1H), 7.42 (m, 1H), 7.34-7.23 (m, 3H), 7.13 (m, 1H), 7.05-6.94 (m, 3H), 6.02 (br. s, 1H), 4.13 (m, 1H), 3.98-3.80 (m, 2H), 3.59-3.43 (m, 2H), 3.23 (m, 1H), 3.08 (s, 3H), 2.97-3.85 (m, 2H), 2.82 (s, 3H), 2.61-2.46 (m, 2H), 2.39-1.86 (m, 12H); ESI-MS 681 (M+H).

On page 679, please replace the paragraph beginning "*N*-{2-chloro-4-fluoro-5" with the following:

N-{2-chloro-4-fluoro-5-[(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)carbonyl]phenyl}methanesulfonamide (56 mg, 59%) was obtained as a solid from 4-chloro-2-fluoro-5-[(methylsulfonyl)amino]benzoic acid (43 mg, 0.16 mmol), 1-((1*R*,5*S*)-8-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole dihydrochloride (83 mg, 0.13 mmol) and HATU (78 mg, 0.20 mmol) following the procedure outlined in example 5. ¹H NMR (400 MHz, CDCl₃), [□] δ 7.68-7.61 (m, 1H), 7.61 (br. s, 1H), 7.36 (m, 1H), 7.31-7.26 (m, 1H), 7.25-7.21 (m, 1H), 7.21-7.12 (m, 2H), 7.07 (m, 1H), 7.02-6.93 (m, 2H), 4.68 (br. s, 1H), 4.19 (m, 1H), 3.50-3.15 (m, 5H), 3.04 (s, 3H), 2.58 (s, 3H), 2.51-2.34 (m, 2H), 2.28 (m, 2H), 2.15 (m, 2H), 2.07-1.76 (m, 10H), 1.69 (m, 2H); ESI-MS 696 (M+H).

On pages 679-680, please replace the paragraph beginning "1-[(1*R*,5*S*)-8-(2-{4-(3-fluorophenyl)" with the following:

1-[(1*R*,5*S*)-8-(2-{4-(3-fluorophenyl)-1-[3-(1*H*-1,2,4-triazol-1-yl)benzoyl]piperidin-4-yl}ethyl)-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole (89 mg, 47%) was obtained as a solid from 3-(1*H*-1,2,4-triazol-1-yl)benzoic acid (107 mg, 0.56 mmol), 1-((1*R*,5*S*)-8-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole dihydrochloride (160 mg, 0.31 mmol) and HATU (176 mg, 0.46 mmol) following the procedure outlined in example 5. ¹H NMR (400 MHz, CDCl₃), [□] δ 8.58 (s, 1H), 8.11 (s, 1H), 7.77-7.72 (m, 2H), 7.67 (d, 1H, *J* = 7.9 Hz), 7.56 (t, 1H, *J* = 7.8 Hz), 7.43-7.24 (m, 3H), 7.17 (m, 2H), 7.09 (d, 1H, *J* = 7.7 Hz), 7.04-6.94 (m, 2H), 4.61 (m, 1H), 4.19 (m, 1H), 3.60 (m, 1H), 3.47-3.17 (m, 3H), 2.56 (s, 3H), 2.43-2.26 (m, 3H), 2.13 (m, 1H), 2.02-1.55 (m, 12H); ESI-MS 618 (M+H).

On pages 680-681, please replace the paragraph beginning "To a –78 °C solution" with the following:

To a –78 °C solution of benzyl 2-hydroxypropanoate (250 mg, 1.39 mmol) and 4A molecular sieves in 2 mL CH₂Cl₂ was added trifluoromethanesulfonic anhydride (0.33 mL, 1.97 mmol). After stirring for 10 min at this temperature, 2,6-lutidine (0.31 mL, 2.62 mmol) was added. After 15 min, diisopropylethylamine (0.46 mL, 2.62 mmol) was added and after another 15 min, a solution of 1-((1*R*,5*S*)-8-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole dihydrochloride (400 mg, 0.66 mmol) in 3 mL CH₂Cl₂ was added. The reaction mixture was stirred at –78 °C, then allowed to warm to room temperature overnight, washed with saturated aqueous NaHCO₃, and purified by chromatography (3% (2M NH₃ / MeOH) in CHCl₃) to provide benzyl 2-(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)propanoate (146 mg, 37%) as a solid. ¹H NMR (400 MHz, CDCl₃), [□] δ 7.68 (m, 1H), 7.37-7.26 (m, 7H), 7.22-7.13 (m, 2H), 7.08 (m, 1H), 6.98 (m, 1H), 6.92 (m, 1H), 5.09 (s, 2H), 4.62 (m, 1H), 3.39-3.17 (m, 2H), 2.89-1.26 (m, 27H); ESI-MS 609 (M+H).

On page 681, please replace the paragraph beginning "A solution of benzyl" with the following:

A solution of benzyl 2-(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)propanoate (136 mg, 0.223 mmol) in 8mL MeOH was stirred for 3h under an atmospheric pressure of hydrogen and in the presence of catalytic 5% Pd/C. Filtration and evaporation afforded 2-(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)propanoic acid (90.0 mg, 78%) as a solid. ¹H NMR (400 MHz, CDCl₃), [□] δ 7.69 (m, 1H), 7.40 (m, 1H), 7.26-7.12 (m, 4H), 7.09-6.95 (m, 2H), 5.37 (m, 1H), 3.85-

3.55 (m, 5H), 2.81-1.77 (18H), 2.62 (s, 3H), 1.61-1.41 (3H); ESI-MS 517 (M-H).

On pages 681-682, please replace the paragraph beginning "Preparation of cyclohexyl" with the following:

Preparation of cyclohexyl(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)acetic acid.

To a $-78\text{ }^{\circ}\text{C}$ solution of benzyl cyclohexyl(hydroxy)acetate (61 mg, 0.25 mmol) and 4A molecular sieves in 1.2 mL CH_2Cl_2 was added trifluoromethanesulfonic anhydride (0.05 mL, 0.30 mmol). After stirring for 10 min at this temperature, 2,6-lutidine (0.06 mL, 0.49 mmol) was added. After 15 min, diisopropylethylamine (0.09 mL, 0.49 mmol) was added and after another 15 min, a solution of 1-((1*R*,5*S*)-8-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole dihydrochloride (153 mg, 0.30 mmol) in 1 mL CH_2Cl_2 was added. The reaction mixture was stirred at $-78\text{ }^{\circ}\text{C}$, then allowed to warm to room temperature overnight, washed with saturated aqueous NaHCO_3 , and purified by preparatory TLC using 5% MeOH in CHCl_3 to afford benzyl cyclohexyl(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)acetate (33 mg, 20%) as an oil. ^1H NMR (400 MHz, CDCl_3), δ 7.68 – 7.64 (m, 1H), 7.33-7.23 (m, 7H), 7.20-7.12 (m, 2H), 7.05 (m, 1H), 6.97 (m, 1H), 6.89 (m, 1H), 5.05 (AB_q, 2H, $J = 12.3\text{ Hz}$), 4.61 (m, 1H), 3.26-3.18 (m, 2H), 2.92 (d, 1H, $J = 10.4\text{ Hz}$), 2.70 (m, 1H), 2.64-2.50 (m, 2H), 2.57 (s, 3H), 2.46-2.30 (m, 3H), 2.12-0.81 (m, 25H); ESI-MS 677 (M+H).

On pages 682-683, please replace the paragraph beginning "To a solution of cyclohexyl" and ending "ESI-MS 600 (M+H)" with the following:

To a solution of cyclohexyl(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)acetic acid

(22.0 mg, 0.037 mmol), methylamine (0.056 mL of a 2M solution in THF, 0.11 mmol), N-hydroxybenzotriazole (10.1 mg, 0.075 mmol) and N-methylmorpholine (0.10 mL, 0.094 mmol) in 1 mL DMF was added EDC (14 mg, 0.075 mmol). The reaction mixture was stirred for 24h, then diluted with 4:1 EtOAc:hex and washed with saturated aqueous NaHCO₃, dried (Na₂SO₄) and chromatographed (5% (2M NH₃ / MeOH) in CHCl₃) to provide 2-cyclohexyl-2-(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)-*N*-methylethanamide (8.1 mg, 36%) as a solid. ¹H NMR (400 MHz, CDCl₃), [□] δ 7.66 (m, 1H), 7.33-7.27 (m, 2H), 7.20-7.11 (m, 2H), 7.05 (m, 1H), 6.98 (m, 1H), 6.90 (m, 1H), 4.64 (m, 1H), 3.33-3.20 (m, 2H), 2.80 (d, 3H, J = 4.9 Hz), 2.59 (s, 3H), 2.47-0.79 (m, 27H); ESI-MS 600 (M+H).

On pages 683-684, please replace the paragraph beginning "To a solution of cyclohexyl" and ending "ESI-MS 589 (M+H)" with the following:

To a solution of cyclohexyl(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)acetic acid (22.0 mg, 0.037 mmol), hydroxylamine (0.2 mL of a 28% solution in water, 3.3 mmol), N-hydroxybenzotriazole (10.1 mg, 0.075 mmol) and N-methylmorpholine (0.10 mL, 0.094 mmol) in 1 mL DMF was added EDC (14 mg, 0.075 mmol). The reaction mixture was stirred for 24h, then diluted with 4:1 EtOAc:hex and washed with saturated aqueous NaHCO₃, dried (Na₂SO₄) and chromatographed (5% (2M NH₃ / MeOH) in CHCl₃) to provide 2-cyclohexyl-2-(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)acetamide (7.7 mg, 35%) as a solid. ¹H NMR (400 MHz, CDCl₃), [□] δ 7.66 (m, 1H), 7.34-7.27 (m, 2H), 7.20-7.12 (m, 2H), 7.06 (m, 1H), 6.99 (m, 1H), 6.90 (m, 1H), 4.61 (m, 1H), 3.27-3.19 (m, 2H), 2.73-2.62 (m, 2H), 2.58 (s, 3H), 2.47-0.78 (m, 27H); ESI-MS 589 (M+H).

On page 684, please replace the paragraph beginning "Preparation of 2-chloro-3-[(methylamino)sulfonyl]benzoic acid" with the following:

Preparation of 2-chloro-3-[(methylamino)sulfonyl]benzoic acid. To a solution of methyl 2-chloro-3-(chlorosulfonyl)benzoate (608 mg, 2.26 mmol) and K₂CO₃ (770 mg, 5.6 mmol) in 10 mL benzene was added a 2M solution of methylamine in THF (5.6 mL, 11.2 mmol). Purification of the product (2:1 hex:EtOAc) provided methyl 2-chloro-3-[(methylamino)sulfonyl]benzoate (430 mg, 72%) as a solid. ¹H NMR (400 MHz, CDCl₃), [□] δ 8.23 (dd, 1H, J = 7.9, 1.7 Hz), 7.90 (dd, 1H, J = 7.8, 1.7 Hz), 7.48 (t, 1H, J = 7.9 Hz), 5.16 (q, 1H, J = 5.2 Hz), 3.94 (s, 3H), 2.62 (d, 3H, J = 5.3 Hz); ESI-MS 264 (M+H). Methyl 2-chloro-3-[(methylamino)sulfonyl]benzoate was hydrolyzed using aqueous NaOH to provide 2-chloro-3-[(methylamino)sulfonyl]benzoic acid as a solid, which was used without further purification. ESI-MS 250 (M+H), 272 (M+Na).

On page 685, please replace the paragraph beginning "2-chloro-3-[(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)carbonyl]-*N*-methylbenzenesulfonamide (87 mg, 62%) was obtained as a solid from 2-chloro-3-[(methylamino)sulfonyl]benzoic acid (52 mg, 0.21 mmol), 1-((1*R*,5*S*)-8-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole dihydrochloride (127 mg, 0.21 mmol) and HATU (87 mg, 0.23 mmol) following the procedure outlined in example 5. ¹H NMR (400 MHz, CDCl₃), [□] δ 8.40 (m, 1H), 7.62 (m, 1H), 7.53-7.28 (m, 4H), 7.13 (m, 2H), 7.04 (m, 1H), 6.99-6.90 (m, 2H), 5.92-5.59 (m, 2H), 4.60 (m, 1H), 4.2 (m, 1H), 3.42-3.03 (m, 6H), 2.63-2.58 (m, 3H, rotamers), 2.54 (s, 1.5H, rotamer), 2.52 (s, 1.5H, rotamer), 2.41-2.23 (m, 3H), 2.17-1.58 (m, 11H); ESI-MS 678 (M+H).

On pages 685-686, please replace the paragraph beginning "3-(4-(3-fluorophenyl)" with the following:

3-(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)-2,2-dimethyl-3-oxopropan-1-ol (63 mg, 88%) was obtained as a solid from 3-hydroxy-2,2-dimethylpropanoic acid (23 mg, 0.20 mmol), 1-((1*R*,5*S*)-8-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole dihydrochloride (80 mg, 0.13 mmol) and HATU (75 mg, 0.20 mmol) following the procedure outlined in example 5. ¹H NMR (400 MHz, CDCl₃), [□] δ 7.67-7.63 (m, 1H), 7.38-7.28 (m, 2H), 7.15 (m, 2H), 7.08 (m, 1H), 7.02-6.92 (m, 2H), 4.60 (m, 1H), 3.90 (m, 2H), 3.72 (m, 1H), 3.45 (m, 2H), 3.25 (m, 4H), 2.57 (s, 3H), 2.37 (m, 2H), 2.19 (m, 2H), 1.99-1.85 (m, 6H), 1.85-1.74 (m, 4H), 1.63 (m, 2H), 1.26 (s, 6H); ESI-MS 547 (M+H).

On page 686, please replace the paragraph beginning "Preparation of 2,2-dimethyl" with the following:

Preparation of 2,2-dimethyl-3-[(methylsulfonyl)amino]propanoic acid. To a solution of methyl 3-amino-2,2-dimethylpropanoate (249 mg, 1.90 mmol) and Et₃N (0.80 mL, 5.70 mmol) in 2 mL CH₂Cl₂ was added methanesulfonyl chloride (0.29 mL, 3.80 mmol). The reaction was stirred for 2 days, quenched by the addition of saturated aqueous NaHCO₃, extracted with CHCl₃, and chromatographed (1:1 hex:EtOAc) to provide methyl 2,2-dimethyl-3-[(methylsulfonyl)amino]propanoate (124 mg, 31%) as a clear oil. ¹H NMR (400 MHz, CDCl₃), 4.95 (t, 1H, J = 6.8 Hz), 3.69 (s, 3H), 3.16 (d, 2H, J = 6.8 Hz), 2.95 (s, 3H), 1.24 (s, 6H). Methyl 2,2-dimethyl-3-[(methylsulfonyl)amino]propanoate was hydrolyzed using aqueous NaOH to provide 2,2-dimethyl-3-[(methylsulfonyl)amino]propanoic acid, which was used without further purification. ¹H NMR (400 MHz, CDCl₃), [□] δ 10.28 (br. s, 1H), 5.56 (br. s, 1H), 3.14 (s, 2H), 2.94 (s, 3H), 1.25 (s, 6H).

On page 687, please replace the paragraph beginning "*N*-[3-(4-(3-fluorophenyl))]" with the following:

N-[3-(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)-2,2-dimethyl-3-oxopropyl]methanesulfonamide (41 mg, 48%) was obtained as a solid from 2,2-dimethyl-3-[(methylsulfonyl)amino]propanoic acid (38 mg, 0.20 mmol), 1-((1*R*,5*S*)-8-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole dihydrochloride (83 mg, 0.14 mmol) and HATU (75 mg, 0.20 mmol) following the procedure outlined in example 5. ¹H NMR (400 MHz, CDCl₃), [□] δ 7.63 (m, 1H), 7.34 (m, 1H), 7.28 (m, 1H), 7.14 (m, 2H), 7.06 (m, 1H), 6.99 (m, 1H), 6.94 (m, 1H), 5.52 (t, 1H, J = 6.8 Hz), 4.63 (m, 1H), 3.89 (m, 2H), 3.24 (m, 4H), 3.08 (d, 2H, J = 6.8 Hz), 2.93 (s, 3H), 2.56 (s, 3H), 2.37 (m, 2H), 2.18 (m, 2H), 1.92 (m, 6H), 1.78 (m, 4H), 1.64 (m, 2H), 1.32 (s, 6H); ESI-MS 624 (M+H).

On pages 687-688, please replace the paragraph beginning "*N*-{2,6-dichloro" with the following:

N-{2,6-dichloro-4-[(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)carbonyl]phenyl}-1,1,1-trifluoromethanesulfonamide (63 mg, 60%) was obtained as an oil from 3,5-dichloro-4-[(trifluoromethyl)sulfonyl]amino}benzoic acid (70 mg, 0.21 mmol), 1-((1*R*,5*S*)-8-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole dihydrochloride (83 mg, 0.14 mmol) and HATU (75 mg, 0.20 mmol) following the procedure outlined in example 5. ¹H NMR (400 MHz, CDCl₃), [□] δ 7.68-7.64 (m, 1H), 7.37-7.25 (m, 4H), 7.18 (m, 2H), 7.08 (m, 1H), 7.01-6.90 (m, 2H), 5.17 (m, 1H), 4.02 (m, 1H), 3.52 (m, 1H), 3.30 (m, 2H), 2.64 (s, 3H), 2.56 (m, 2H), 2.23-1.69 (m, 13H); ESI-MS 766 (M+H).

On page 688, please replace the paragraph beginning "*N*-{2-chloro-3-[(4-(3-fluorophenyl))]" with the following:

N-{2-chloro-3-[(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-yl)carbonyl]phenyl}-1,1,1-trifluoromethanesulfonamide (12 mg, 12%) was obtained as a solid from 2-chloro-3-[[[(trifluoromethyl)sulfonyl]amino]benzoic acid (66 mg, 0.22 mmol), 1-((1*R*,5*S*)-8-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole dihydrochloride (83 mg, 0.14 mmol) and HATU (75 mg, 0.20 mmol) following the procedure outlined in example 5. ¹H NMR (400 MHz, CDCl₃), [□] δ 7.70-7.64 (m, 1H), 7.58 (m, 1H), 7.42-7.28 (m, 2H), 7.25-6.92 (m, 5H), 6.88-6.74 (m, 2H), 5.00-4.70 (m, 1H), 4.32-4.00 (m, 1H), 3.75-3.00 (m, 5H), 2.58 (s, 3H), 2.32-1.20 (m, 17H); ESI-MS 732 (M+H).

On page 689, please replace the paragraph beginning "1-((1*R*,5*S*)-8-{2-[1-(2-chloro-4"

1-((1*R*,5*S*)-8-{2-[1-(2-chloro-4-fluoro-5-nitrobenzoyl)-4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole (14 mg, 16%) was obtained as an oil from 2-chloro-4-fluoro-5-nitrobenzoic acid (39 mg, 0.18 mmol), 1-((1*R*,5*S*)-8-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole dihydrochloride (83 mg, 0.14 mmol) and HATU (75 mg, 0.20 mmol) following the procedure outlined in example 5. ¹H NMR (400 MHz, CDCl₃), [□] δ 7.66 (m, 1H), 7.43-7.27 (m, 3H), 7.16 (m, 2H), 7.07 (m, 1H), 7.03-6.93 (m, 3H), 4.62 (m, 1H), 4.24 (m, 1H), 3.46-3.07 (m, 6H), 2.57 (s, 3H), 2.44-1.59 (m, 15H); ESI-MS 648 (M+H).

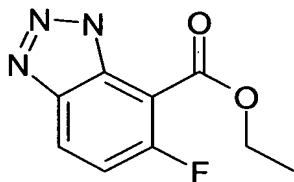
On page 690, please replace the paragraph beginning "*N*-{2,6-dichloro-4" with the following:

N-{2,6-dichloro-4-[(4-(3-fluorophenyl)-4-{2-[(1*R*,5*S*)-3-(2-methyl-1*H*-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}piperidin-1-

yl)carbonyl]phenyl]methanesulfonamide (28 mg, 28%) was obtained as a solid from 3,5-dichloro-4-[(methylsulfonyl)amino]benzoic acid (59 mg, 0.21 mmol), 1-((1*R*,5*S*)-8-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}-8-azabicyclo[3.2.1]oct-3-yl)-2-methyl-1*H*-benzimidazole dihydrochloride (83 mg, 0.14 mmol) and HATU (75 mg, 0.20 mmol) following the procedure outlined in example 5. ¹H NMR (400 MHz, CDCl₃), [□] δ 7.62 (m, 1H), 7.37-7.22 (m, 3H), 7.13 (m, 2H), 7.05 (m, 1H), 7.01-6.88 (m, 3H), 4.58 (m, 1H), 4.12 (m, 1H), 3.55-3.22 (m, 5H), 3.21 (m, 3H, rotamers), 2.53 (m, 3H, rotamers), 2.41-1.56 (m, 15H); ESI-MS 712 (M+H)

On pages 732-733, please replace the paragraph beginning "Preparation of the ethyl " with the following:

Preparation of the ethyl 6-fluoro-1*H*-1,2,3-benzotriazole-7-carboxylate

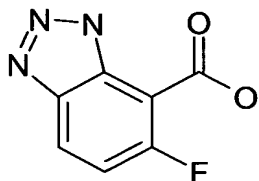


ethyl 2,3-diamino-6-fluorobenzoate (1.00 g, 5.04 mmole) in 50 ml of water and 10 ml acetic acid was cooled to -10 C°. To this solution was added dropwise sodium nitrite (348 mg, 5.04 mmole) in 30 ml of water. After the addition the reaction was warmed to 0 C° for 30 min, then to room temperature for 1 hr, and finally 50 C° for 1 hr. The reaction was filtered after stirring over night and washed with water. The dark brownish purple solid was dissolved in ethylacetate dried over magnesium sulfate and evaporated to afford ethyl 6-fluoro-1*H*-1,2,3-benzotriazole-7-carboxylate (920 mg, 87% yield)

¹H NMR (400 MHz, METHANOL-D₄) [□] δ ppm 1.3 (t, *J*=7.1 Hz, 3 H) 4.4 (q, *J*=7.0 Hz, 2 H) 7.2 (dd, *J*=11.2, 9.0 Hz, 1 H) 8.1 (dd, *J*=9.1, 4.1 Hz, 1 H).

On page 733, please replace the paragraph beginning "Preparation of the 6-fluoro" with the following:

Preparation of the 6-fluoro-1*H*-1,2,3-benzotriazole-7-carboxylic acid



ethyl 6-fluoro-1*H*-1,2,3-benzotriazole-7-carboxylate (920 mg) was heated in 6N HCl until all of the starting material disappeared. Evaporation of the HCl afforded 718 mg of a brownish solid.

¹H NMR (300 MHz, DMSO-*D*₆) [δ] ppm 7.4 (dd, *J*=11.2, 9.0 Hz, 1 H) 8.3 (dd, *J*=9.1, 4.1 Hz, 1 H).

On pages 734-735, please replace the paragraph beginning "2-amino-6-fluorobenzoic acid" with the following:

2-amino-6-fluorobenzoic acid (5.00 g, 32.2 mmole) was dissolved in 30 ml of 2N sodium hydroxide. To this was added dropwise a solution of sodium persulfate (7.67 g, 32.2 mmole) in 80 ml of water. After stirring over night the resulting black solution was extracted with 2 L of ether and 1 L of ethylacetate. Evaporation of the water gave a black solid that was used with no purification.

¹H NMR (400 MHz, DMSO-*D*₆) [δ] ppm 6.2 (dd, *J*=11.5, 8.6 Hz, 1 H) 6.7 (dd, *J*=8.6, 4.9 Hz, 1 H)

LC-MS

On page 773, please replace the paragraph beginning "2-chloro-N-cyclopropyl" with the following:

PU4962USw

2-chloro-N-cyclopropyl-4-fluoro-5-[(4-(3-fluorophenyl)-4-{2-[(1R,5S)-3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}-1-piperidinyl)carbonyl]benzenesulfonamide. ¹H NMR (300 MHz, CD₃OD) [□] δ ppm 8.18(m, 1H), 7.67(d, J=8.8Hz, 1H), 7.58(m, 1H), 7.47(m, 2H), 7.30(s, 1H), 7.29-7.20(m, 3H), 7.05(m, 1H), 4.79(m, 1H), 4.21(m, 1H), 3.60-3.25(m, 8H), 2.59(s, 3H), 2.52-1.70(m, 15H), 0.56(m, 4H).

On page 773, please replace the paragraph beginning "2-chloro-4-fluoro" with the following:

2-chloro-4-fluoro-5-[(4-(3-fluorophenyl)-4-{2-[(1R,5S)-3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}-1-piperidinyl)carbonyl]-N-methylbenzenesulfonamide. ¹H NMR (300 MHz, CD₃OD) [□] δ ppm 8.09(m, 1H), 7.60(d, J=8.8Hz, 1H), 7.53(m, 1H), 7.40(m, 2H), 7.25-7.16(m, 4H), 7.00(m, 1H), 4.75(m, 1H), 4.16(m, 1H), 3.53-3.18(m, 8H), 2.55(d, J=9.3Hz, 3H), 2.52-1.70(m, 16H).

On page 774, please replace the paragraph beginning "2-chloro-4-fluoro-5" and ending "(d, J=6.5Hz, 6H)" with the following:

2-chloro-4-fluoro-5-[(4-(3-fluorophenyl)-4-{2-[(1R,5S)-3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}-1-piperidinyl)carbonyl]-N-(1-methylethyl)benzenesulfonamide. ¹H NMR (300 MHz, CD₃OD) [□] δ ppm 8.11(m, 1H), 7.60(d, J=8.8Hz, 1H), 7.53(m, 1H), 7.40(m, 2H), 7.25-7.16(m, 4H), 7.00(m, 1H), 4.74(m, 1H), 4.17(m, 1H), 3.53-3.18(m, 8H), 2.53(s, 3H), 2.52-1.70(m, 14H), 1.07 (d, J=6.5Hz, 6H).

On page 774, please replace the paragraph beginning "2-chloro-4-fluoro-5" and ending "2.52-1.68(m, 13H)" with the following:

2-chloro-4-fluoro-5-[(4-(3-fluorophenyl)-4-{2-[(1R,5S)-3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}-1-piperidinyl)carbonyl]-N-

(2,2,2-trifluoroethyl)benzenesulfonamide. ^1H NMR (300 MHz, CD_3OD) [\square] δ ppm 8.10(m, 1H), 7.60(d, $J=8.8\text{Hz}$, 1H), 7.51(m, 1H), 7.40(m, 2H), 7.25-7.16(m, 4H), 7.00(m, 1H), 4.73(m, 1H), 4.16(m, 1H), 3.77 (q, $J=9.4\text{ Hz}$, 2 H), 3.53-3.18(m, 8H), 2.53(s, 3H), 2.52-1.68(m, 13H).

On pages 774-775, please replace the paragraph beginning "2-chloro-N-(1,1-dimethylethyl)" with the following:

2-chloro-N-(1,1-dimethylethyl)-4-fluoro-5-[(4-(3-fluorophenyl)-4-{2-[(1R,5S)-3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}-1-piperidinyl)carbonyl]benzenesulfonamide. ^1H NMR (300 MHz, CD_3OD) [\square] δ ppm 8.10(m, 1H), 7.58(d, $J=8.8\text{Hz}$, 1H), 7.51(m, 1H), 7.40(m, 2H), 7.25-7.16(m, 4H), 7.00(m, 1H), 4.74(m, 1H), 4.17(m, 1H), 3.53-3.18(m, 8H), 2.53(s, 3H), 2.52-1.69(m, 13H), 1.20(s, 9H).

On page 775, please replace the paragraph beginning "2-chloro-N-cyclopentyl" with the following:

2-chloro-N-cyclopentyl-4-fluoro-5-[(4-(3-fluorophenyl)-4-{2-[(1R,5S)-3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}-1-piperidinyl)carbonyl]benzenesulfonamide. ^1H NMR (300 MHz, CD_3OD) [\square] δ ppm 8.11(m, 1H), 7.60(d, $J=8.8\text{Hz}$, 1H), 7.51(m, 1H), 7.40(m, 2H), 7.25-7.16(m, 4H), 7.00(m, 1H), 4.72(m, 1H), 4.16(m, 1H), 3.57-3.18(m, 8H), 2.53(s, 3H), 2.52-1.39(m, 22H).

On page 775, please replace the paragraph beginning "2-chloro-4-fluoro-5" with the following:

2-chloro-4-fluoro-5-[(4-(3-fluorophenyl)-4-{2-[(1R,5S)-3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}-1-piperidinyl)carbonyl]benzenesulfonamide. ^1H NMR (300 MHz, CD_3OD) [\square] δ ppm 8.10(m, 1H), 7.58(d, $J=9.0\text{Hz}$, 1H), 7.51(m, 1H), 7.40(m, 2H), 7.25-

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7.16(m, 4H), 7.00(m, 1H), 4.73(m, 1H), 4.16(m, 1H), 3.52-3.19(m, 8H), 2.53(s, 3H), 2.52-1.69(m, 13H).

On page 776, please replace the paragraph beginning "2-chloro-N-ethyl-4-fluoro" with the following:

2-chloro-N-ethyl-4-fluoro-5-[(4-(3-fluorophenyl)-4-{2-[(1R,5S)-3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}-1-piperidinyl)carbonyl]benzenesulfonamide. ¹H NMR (300 MHz, CD₃OD) [□] δ ppm 8.09(m, 1H), 7.59(d, J=9.1Hz, 1H), 7.51(m, 1H), 7.40(m, 2H), 7.25-7.16(m, 4H), 7.00(m, 1H), 4.74(m, 1H), 4.16(m, 1H), 3.52-3.19(m, 8H), 2.97(q, J=7.2Hz, 2H), 2.53(s, 3H), 2.52-1.69(m, 13H), 1.06(t, J=7.2Hz, 3H).

On page, 776, please replace the paragraph beginning "N-cyclopentyl-4-fluoro" with the following:

N-cyclopentyl-4-fluoro-3-[(4-(3-fluorophenyl)-4-{2-[(1R,5S)-3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}-1-piperidinyl)carbonyl]benzenesulfonamide. ¹H NMR (300 MHz, CD₃OD) [□] δ ppm 7.98(m, 1H), 7.88(m, 1H), 7.53(m, 1H), 7.41(m, 3H), 7.25-7.16(m, 4H), 7.00(m, 1H), 4.73(m, 1H), 4.17(m, 1H), 3.60-3.18(m, 8H), 2.52(s, 3H), 2.52-1.34(m, 22H).

On pages 776-777, please replace the paragraph beginning "N-(1,1-dimethylethyl)-4" with the following:

N-(1,1-dimethylethyl)-4-fluoro-3-[(4-(3-fluorophenyl)-4-{2-[(1R,5S)-3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}-1-piperidinyl)carbonyl]benzenesulfonamide. ¹H NMR (300 MHz, CD₃OD) [□] δ ppm 8.01(m, 1H), 7.89(m, 1H), 7.52(m, 1H), 7.40(m, 3H), 7.25-7.16(m, 4H), 7.00(m, 1H), 4.74(m, 1H), 4.17(m, 1H), 3.52-3.17(m, 8H), 2.53(s, 3H), 2.52-1.68(m, 13H), 1.19(s, 9H).

On page 777, please replace the paragraph beginning "1-[(1R,5S)-8-(2-{4-(3-fluorophenyl)}" with the following:

1-[(1R,5S)-8-(2-{4-(3-fluorophenyl)-1-[(2-methyl-1H-benzimidazol-4-yl)carbonyl]-4-piperidiny]ethyl)-8-azabicyclo[3.2.1]oct-3-yl]-2-methyl-1H-benzimidazole. ¹H NMR (300 MHz, CD₃OD) [□] δ ppm 8.01-6.94(m, 11H), 4.90-4.72(m, 1H), 3.97(m, 1H), 3.70-3.16(m, 8H), 2.65(s, 3H), 2.55(s, 3H), 2.46-1.38(m, 14H).

On page 777, please replace the paragraph beginning "2-[(4-(3-fluorophenyl)" with the following:

2-[(4-(3-fluorophenyl)-4-{2-[(1R,5S)-3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}-1-piperidiny]carbonyl]cyclopropanecarboxamide. ¹H NMR (300 MHz, CD₃OD) [□] δ ppm 7.53(m, 1H), 7.40(m, 2H), 7.25-7.14(m, 4H), 6.98(m, 1H), 4.74(m, 1H), 4.11-3.79(m, 2H), 3.52-3.29(m, 7H), 3.08(m, 1H), 2.55(s, 3H), 2.52-1.17(m, 16H).

On page 778, please replace the paragraph beginning "2-[(4-(3-fluorophenyl)" with the following:

2-[(4-(3-fluorophenyl)-4-{2-[(1R,5S)-3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}-1-piperidiny]carbonyl]-1-cyclopentene-1-carboxamide. ¹H NMR (300 MHz, CD₃OD) [□] δ ppm 7.53(m, 1H), 7.41(m, 2H), 7.25-7.14(m, 4H), 6.97(m, 1H), 4.74(m, 1H), 4.0(m, 1H), 3.55(m, 1H), 3.35-3.20(m, 5H), 3.00(m, 1H), 2.54(s, 3H), 2.80-1.17(m, 20H).

On page 778, please replace the paragraph beginning "5-(4-(3-fluorophenyl)-4" with the following:

5-(4-(3-fluorophenyl)-4-{2-[(1R,5S)-3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}-1-piperidiny)-3,3-dimethyl-5-oxopentanamide.

¹H NMR (300 MHz, CD₃OD) [□] δ ppm 7.50(m, 1H), 7.40(m, 2H), 7.25-7.16(m, 4H), 6.98(m, 1H), 4.74(m, 1H), 4.00(m, 1H), 3.83(m, 1H), 3.42-1.68(m, 24H), 2.55(s, 3H), 1.10(d, J=3.8Hz, 6H).

On page 779, please replace the paragraph beginning "3-[(4-(3-fluorophenyl)]" with the following:

3-[(4-(3-fluorophenyl)-4-{2-[(1R,5S)-3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}-1-piperidiny)carbonyl]-2-pyrazinecarboxamide.

¹H NMR (300 MHz, CD₃OD) [□] δ ppm 8.78(d, J=2.5Hz, 1H), 8.73(d, J=2.5Hz, 1H), 7.52(m, 1H), 7.40(m, 2H), 7.25-7.16(m, 4H), 6.98(m, 1H), 4.74(m, 1H), 4.15(m, 1H), 3.46-1.68(m, 21H), 2.52(s, 3H).

On page 779, please replace the paragraph beginning "2-[(4-(3-fluorophenyl)]" with the following:

2-[(4-(3-fluorophenyl)-4-{2-[(1R,5S)-3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}-1-piperidiny)carbonyl]cyclobutanecarboxamide. ¹H NMR (300 MHz, CD₃OD) [□] δ ppm 7.52(m, 1H), 7.40(m, 2H), 7.25-7.16(m, 4H), 6.97(m, 1H), 4.73(m, 1H), 4.15-1.68(m, 28H), 2.55(s, 3H).

On page 780, please replace the paragraph beginning "N-cyclopropyl-5" with the following:

N-cyclopropyl-5-(4-(3-fluorophenyl)-4-{2-[(1R,5S)-3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}-1-piperidiny)-4,4-dimethyl-5-oxopentanamide. ¹H NMR (300 MHz, CD₃OD) [□] δ ppm 7.52(m, 1H), 7.40(m, 2H), 7.25-7.12(m, 4H), 6.96(m, 1H), 4.75(m, 1H), 3.98(m, 1H), 3.36-1.68(m, 28H), 2.55(s, 3H).

On page 780, please replace the paragraph beginning "3-[(4-(3-fluorophenyl)]" with the following:

3-[(4-(3-fluorophenyl)-4-{2-[(1R,5S)-3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}-1-piperidinyl)carbonyl]-N-methylbenzamide. ¹H NMR (300 MHz, CD₃OD) [ppm] δ ppm 7.85(m, 1H), 7.84(m, 1H), 7.55(m, 3H), 7.40(m, 2H), 7.26-7.16(m, 4H), 7.00(m, 1H), 4.73(m, 1H), 4.13(m, 1H), 3.58(m, 1H), 3.46-1.68(m, 20H), 2.91(s, 3H), 2.51(s, 3H).

On page, 812, please replace the paragraph beginning "Procedure: Black-walled" with the following:

Procedure: Black-walled 96-well tissue culture plates were seeded with HOS-CD4.CCR5-LTR-Luciferase @ 0.6 to 1.2 x 10³ cells per well in 50 μ l DMEM containing 2% FBS and placed in a humidified incubator @ 37°C, 5% CO₂ overnight. The following day, test compounds were titrated 4-fold at 2X the final concentration in DMEM + 2% FBS + 0.2% DMSO. [[50 μ l]] 50 μ l of titrated compound was transferred to the HOS cells and the plates were placed in a humidified incubator at 37°C, 5% CO₂ for 1 hr. An additional [[60 μ l]] 60 μ l of 2X titrated compound was transferred to a clear-walled 96-well tissue culture plate and [[60 μ l]] 60 μ l of HIV (diluted to appropriate m.o.i.) was added to each well and thoroughly mixed. [[100 μ l]] 100 μ l of the HIV/compound mixture was transferred to the black-walled plates containing [[100 μ l]] 100 μ l of cells/compound. The plates were placed in a humidified incubator at 37°C, 5% CO₂ for 72hr. Following the 72 hour incubation, [[150 μ l]] 150 μ l of supernatant was removed and [[50 μ l]] 50 μ l of reconstituted LUCITE (kit reagent) was added to each well. Each plate was sealed and read in a Topcount (Packard) luminometer at 1s/well.